

PATENT ABSTRACTS OF JAPAN

(11)Publication number : 04-187674

(43)Date of publication of application : 06.07.1992

(51)Int.Cl.

C07D211/32
B01J 31/24
// A61K 31/445
C07B 61/00

(21)Application number : 02-320055

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(22)Date of filing : 21.11.1990

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(54) PRODUCTION OF (-)-1-BENZYL-4-((5,6-DIMETHOXY-1-INDANON)-2-YL) METHYLPIPERIDINE

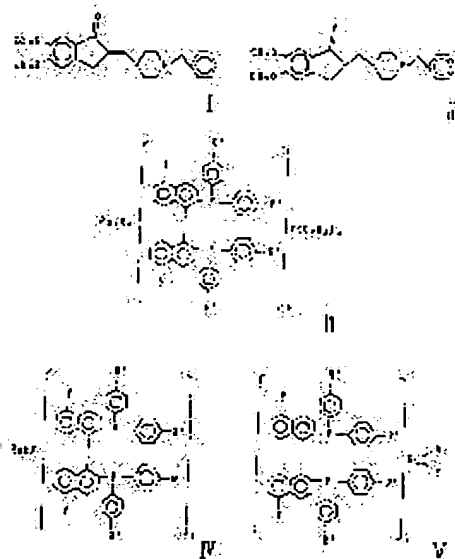
(57)Abstract:

PURPOSE: To obtain a compound useful as a medicine in ultrahigh optical purity and high yield by asymmetrically hydrogenating a methylpiperidine derivative in the presence of a ruthenium-phosphine complex catalyst.

CONSTITUTION: (E)-1-Benzyl-4-[(5,6-dimethoxy-1-indanon)-2-ylidenenyl]methylpyridine expressed by formula I

I is hydrogenated in the presence of an optically active ruthenium-phosphine complex catalyst in a solvent such as methylene chloride at 25-75°C under 4-100kg/cm² pressure for 24-168hr by passing hydrogen therethrough to afford the objective substance, expressed by formula II, having inhibitory action on acetylcholinesterase and useful as a therapeutic agent for Alzheimer's diseases.

At least one or more of compounds expressed by formulas III, IV and V (X us halogen; Y is H,



amino, acetylamino, etc.; R1 is H or lower alkyl; A and Z are ClO₄, BF₄, etc.; n is 1 or 2) are used as the ruthenium- phosphine complex.

LEGAL STATUS

[Date of request for examination]

[Date of sending the examiner's decision of rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

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